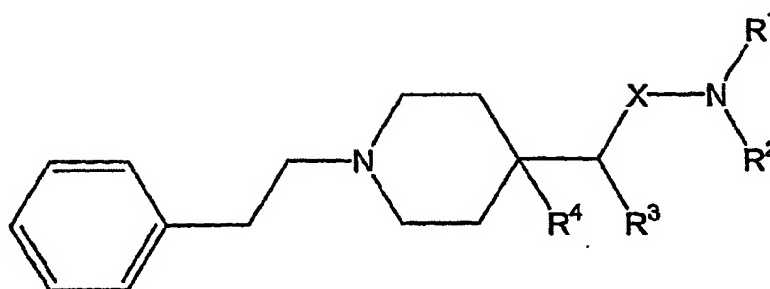


**Claims:**

1. Substituted 1-phenethylpiperidine compounds of the general formula I

5



I,

in which

10 X denotes a methylene (CH<sub>2</sub>) or carbonyl (C=O) group,

R<sup>1</sup> denotes an optionally at least mono-substituted aryl or heteroaryl residue,

15 R<sup>2</sup> denotes H, COR<sup>5</sup>, SO<sub>2</sub>R<sup>5</sup>, an optionally at least mono-substituted, saturated, branched or unbranched aliphatic C<sub>1-10</sub> residue, an optionally at least mono-substituted, at least mono-unsaturated, branched or unbranched aliphatic C<sub>2-10</sub> residue, an optionally at  
20 least mono-substituted, saturated or at least mono-unsaturated cycloaliphatic C<sub>3-8</sub> residue, an optionally at least mono-substituted aryl or heteroaryl residue or an optionally at least mono-substituted aryl or heteroaryl residue attached via a C<sub>1-3</sub> alkylene group,

25

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R<sup>3</sup> and R<sup>4</sup> each separately denote H or together denote a bond,

5 R<sup>5</sup> denotes an optionally at least mono-substituted, saturated, branched or unbranched aliphatic C<sub>1-10</sub> residue, an optionally at least mono-substituted, at least mono-unsaturated, branched or unbranched aliphatic C<sub>2-10</sub> residue, an optionally at least mono-substituted, saturated or at least mono-unsaturated  
10 cycloaliphatic C<sub>3-8</sub> residue, an optionally at least mono-substituted aryl or heteroaryl residue or an optionally at least mono-substituted aryl or heteroaryl residue attached via a C<sub>1-3</sub> alkylene group,  
15 as a free base or a corresponding physiologically acceptable salt and corresponding racemates, enantiomers and diastereomers.

2. Substituted 1-phenethylpiperidine compounds according  
20 to claim 1, characterised in that X denotes a methylene (CH<sub>2</sub>) group.
3. Substituted 1-phenethylpiperidine compounds according  
25 to claim 1 or 2, characterised in that R<sup>1</sup> denotes an optionally at least mono-substituted aryl residue.
4. Substituted 1-phenethylpiperidine compounds according  
30 to one of claims 1 to 3, characterised in that R<sup>2</sup> denotes H, COR<sup>5</sup>, SO<sub>2</sub>R<sup>5</sup> or denotes a C<sub>1-6</sub> alkyl residue, preferably denotes H or COR<sup>5</sup>.

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5. Substituted 1-phenethylpiperidine compounds according to one of claims 1 to 4, characterised in that the residues  $R^3$  and  $R^4$  each denote H.

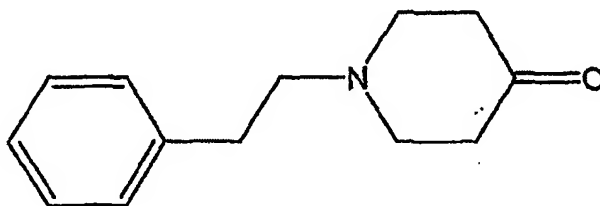
5 6. Substituted 1-phenethylpiperidine compounds according to one of claims 1 to 5, characterised in that the residue  $R^5$  denotes a  $C_{1-6}$  alkyl residue or denotes an unsubstituted or at least mono-substituted aryl residue.

10

8. A process for the production of substituted 1-phenethylpiperidine compounds of the general formula I according to one of claims 1 to 7, characterised in that

15

(a) 1-phenethylpiperidin-4-one of the formula II

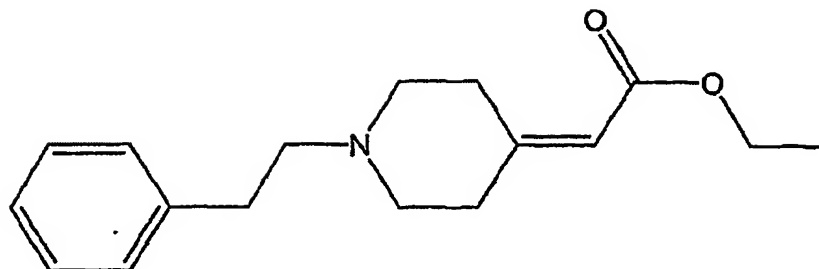


II

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is reacted with triethyl phosphonoacetate in solution to yield (1-phenethylpiperidin-4-ylidene)-ethyl acetate of the formula III

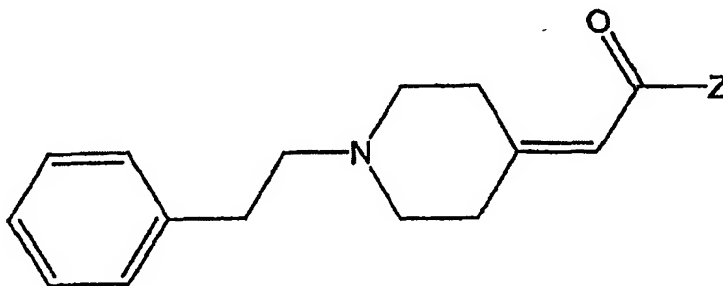
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III

and this is optionally purified in accordance with conventional methods and/or optionally isolated in accordance with conventional methods,

(b) optionally the (1-phenethylpiperidin-4-ylidene)-ethyl acetate of the formula III is converted in accordance with conventional methods into a compound of the general formula IV,

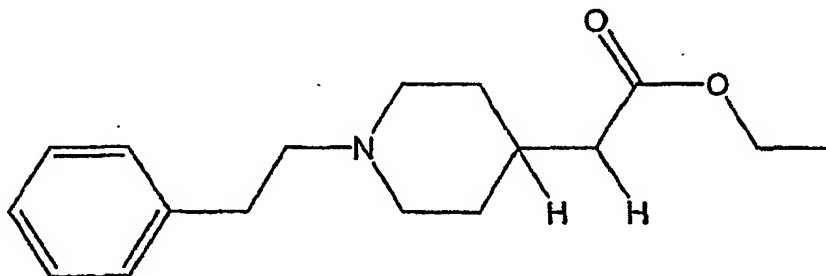


IV

in which Z denotes a group which activates the carbonyl carbon atom for reaction with an amine, the compound of the general formula IV thus obtained is optionally purified in accordance with conventional methods and/or optionally isolated in accordance with conventional methods,

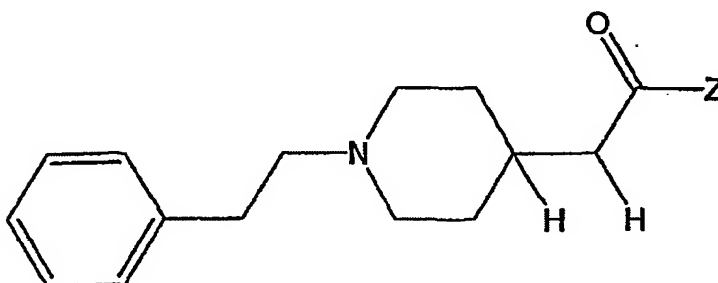
(c) optionally at least one of the compounds of the formula III or IV in solution is reduced to yield a corresponding compound of the general formula III'

5

111<sup>9</sup>

or to yield a corresponding compound of the general formula IV'

10

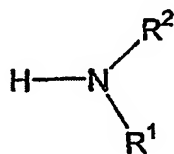
IV<sup>2</sup>

and the corresponding compound is optionally purified in each case in accordance with conventional methods and/or optionally isolated in each case in accordance with conventional methods,

15

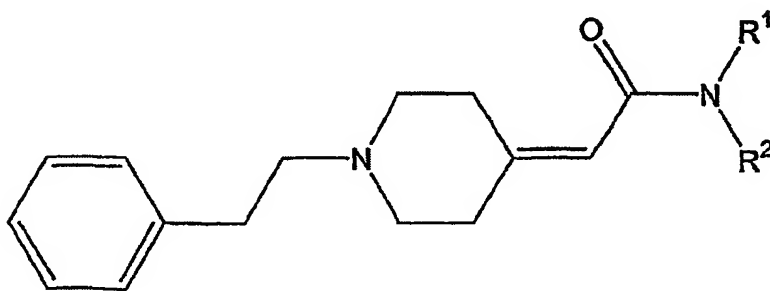
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(d) at least one compound of the formula III, III', IV and IV' in solution is reacted with a primary or secondary amine of the general formula V,



V

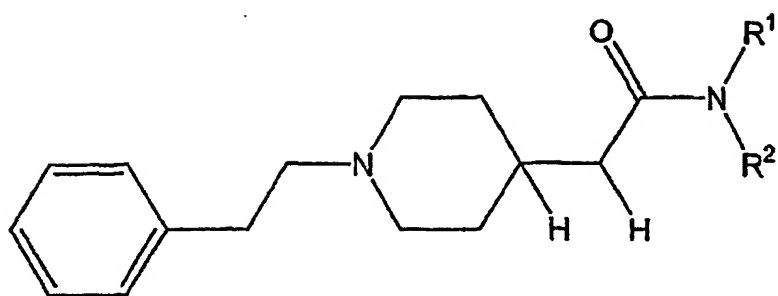
in which R<sup>1</sup> and R<sup>2</sup> have the meaning according to the above-stated general formula I, to yield at least one compound of the general formula Id



Id

and/or at least one compound of the general formula Id'

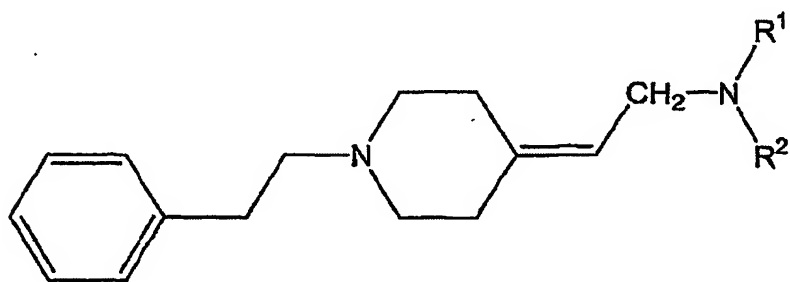
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Id'

and this is optionally purified in each case in accordance with conventional methods and/or optionally isolated in each case in accordance with conventional methods,

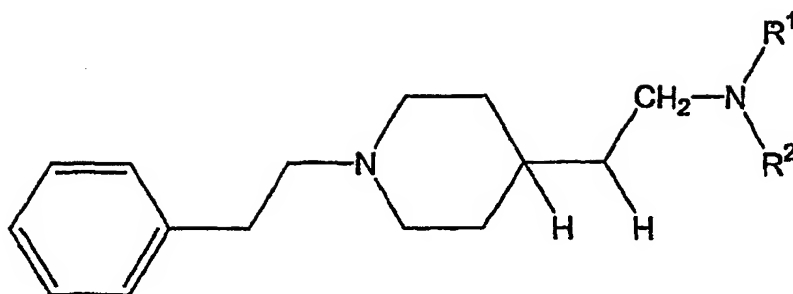
(e) optionally at least one of the compounds of the general formula Id and/or Id' is converted by reduction in solution into at least one compound of the general formula Ie



Ie

and/or at least one compound of the general formula Ie'

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1e'

in which R<sup>1</sup> and R<sup>2</sup> each have the meaning according to claim 1, and this is optionally purified in each case in accordance with conventional methods and/or optionally isolated in each case in accordance with conventional methods,

(f) optionally at least one compound of the general formula Ie and/or Ie', in which the residue R<sup>2</sup> denotes H, is converted in accordance with conventional methods known to the person skilled in the art into at least one compound of the general formula Ie and/or Ie', in which the residue R<sup>2</sup> denotes COR<sup>5</sup>, SO<sub>2</sub>R<sup>5</sup>, an optionally at least mono-substituted, saturated, branched or unbranched aliphatic C<sub>1-10</sub> residue, an optionally at least mono-substituted, at least mono-unsaturated, branched or unbranched aliphatic C<sub>2-10</sub> residue, an optionally at least mono-substituted, saturated or at least mono-unsaturated cycloaliphatic C<sub>3-8</sub> residue, an optionally at least mono-substituted aryl or heteroaryl residue or denotes an optionally at least mono-substituted aryl or heteroaryl residue attached via a C<sub>1-3</sub> alkylene group, wherein the residue R<sup>5</sup> has the above-stated meaning and this is optionally purified in accordance with conventional methods



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and/or optionally isolated in accordance with conventional methods.

- 5           9.    A process according to claim 8, characterised in that  
          Z denotes OH, Cl or a succinimide residue.
- 10          10.   A process according to claim 8 or 9, characterised in  
          that the reduction to yield the compounds of formula  
          III' or IV' is performed with hydrogen in the presence  
10          of a transition metal catalyst, preferably in the  
          presence of palladium powder.
11.   A process according to one of claims 8 to 10,  
          characterised in that the reaction with a primary or  
15          secondary amine of the general formula V is performed  
          in the presence of n-butyllithium.
12.   A process according to one of claims 8 to 11,  
          characterised in that reduction to yield a compound of  
20          the general formula Ie or Ie' proceeds with aluminium  
          hydride (alane) produced in situ from lithium  
          aluminium hydride and aluminium trichloride in an  
          organic solvent.
- 25          13.   A pharmaceutical preparation containing at least one  
          substituted 1-phenethylpiperidine compound according  
          to one of claims 1 to 7 and optionally physiologically  
          acceptable auxiliary substances.
- 30          14.   A pharmaceutical preparation according to claim 13 for  
          combatting pain.

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15. A pharmaceutical preparation according to claim 13 for the treatment of migraine.
- 5 16. A pharmaceutical preparation according to claim 13 for the treatment of diarrhoea.
17. A pharmaceutical preparation according to claim 13 for the treatment of urinary incontinence.
- 10 18. A pharmaceutical preparation according to claim 13 for the treatment of pruritus.
19. A pharmaceutical preparation according to claim 13 for the treatment of inflammatory reactions.
- 15 20. A pharmaceutical preparation according to claim 13 for the treatment of allergic reactions.
- 20 21. A pharmaceutical preparation according to claim 13 for the treatment of the abuse of alcohol and/or drugs and/or medicines.
22. A pharmaceutical preparation according to claim 13 for the treatment of dependency on alcohol and/or drugs and/or medicines.
- 25 23. A pharmaceutical preparation according to claim 13 for the treatment of inflammation.
- 30 24. A pharmaceutical preparation according to claim 13 for local anaesthesia.

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25. Use of at least one substituted 1-phenethylpiperidine compound according to one of claims 1 to 7 to produce a pharmaceutical preparation for the combatting of pain, for the treatment of migraine, diarrhoea, urinary incontinence, pruritus, inflammatory reactions, allergic reactions, dependency on alcohol and/or drugs and/or medicines, abuse of alcohol and/or drugs and/or medicines, inflammation or for local anaesthesia.